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                 "Ask CAS" for self-help around the clock
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        OCT 23
                 The Derwent World Patents Index suite of databases on STN
                 has been enhanced and reloaded
                 CHEMLIST enhanced with new search and display field
NEWS
        OCT 30
        NOV 03
NEWS
                 JAPIO enhanced with IPC 8 features and functionality
NEWS
        NOV 10
                 CA/CAplus F-Term thesaurus enhanced
NEWS
        NOV 10
                 STN Express with Discover! free maintenance release Version
                 8.01c now available
NEWS
        NOV 20
                 CA/CAplus to MARPAT accession number crossover limit increased
                 to 50,000
NEWS 9
        DEC 01
                 CAS REGISTRY updated with new ambiguity codes
NEWS 10
        DEC 11
                 CAS REGISTRY chemical nomenclature enhanced
NEWS 11
        DEC 14
                WPIDS/WPINDEX/WPIX manual codes updated
NEWS 12
       DEC 14
                 GBFULL and FRFULL enhanced with IPC 8 features and
                 functionality
NEWS 13
        DEC 18
                 CA/CAplus pre-1967 chemical substance index entries enhanced
                 with preparation role
NEWS 14
        DEC 18
                 CA/CAplus patent kind codes updated
NEWS 15
        DEC 18
                 MARPAT to CA/Caplus accession number crossover limit increased
                 to 50,000
NEWS 16
        DEC 18
                MEDLINE updated in preparation for 2007 reload
        DEC 27
NEWS 17
                 CA/CAplus enhanced with more pre-1907 records
NEWS 18
        JAN 08
                 CHEMLIST enhanced with New Zealand Inventory of Chemicals
        JAN 16
NEWS 19
                 CA/CAplus Company Name Thesaurus enhanced and reloaded
NEWS 20 JAN 16
                 IPC version 2007.01 thesaurus available on STN
NEWS 21 JAN 16
                WPIDS/WPINDEX/WPIX enhanced with IPC 8 reclassification data
NEWS 22
        JAN 22
                 CA/CAplus updated with revised CAS roles
NEWS 23
        JAN 22
                 CA/CAplus enhanced with patent applications from India
NEWS 24
                 PHAR reloaded with new search and display fields
        JAN 29
NEWS 25
        JAN 29
                 CAS Registry Number crossover limit increased to 300,000 in
                 multiple databases
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NEWS EXPRESS NOVEMBER 10 CURRENT WINDOWS VERSION IS V8.01c, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
AND CURRENT DISCOVER FILE IS DATED 25 SEPTEMBER 2006.

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L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

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Structure attributes must be viewed using STN Express query preparation.

=> s l1 full

FULL SEARCH INITIATED 17:44:03 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED -101 TO ITERATE

100.0% PROCESSED 101 ITERATIONS SEARCH TIME: 00.00.01

15 ANSWERS

 L_2 15 SEA SSS FUL L1

=> d 12 scan

 L_2 15 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN

Benzenepropanoic acid, α -ethoxy-4-[2-[hexyl(2-phenylethyl)amino]-2-IN

oxoethoxy]-, (α S)-, compd. with 2-methyl-2-propanamine (1:1) (9CI) MF C27 H37 N O5 . C4 H11 N

CM 1

Absolute stereochemistry.

CM 2

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):5

L2 15 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN

IN Benzenepropanoic acid, α -ethoxy-4-[2-[hexyl(2-phenylethyl)amino]-2-oxoethoxy]-, (α S)-, compd. with N,N'-bis(phenylmethyl)-1,2-ethanediamine (1:1) (9CI)

MF C27 H37 N O5 . C16 H20 N2

CM 1

Absolute stereochemistry.

CM 2

Ph-CH2-NH-CH2-CH2-NH-CH2-Ph

L2 15 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN

IN Benzenepropanoic acid, α -ethoxy-4-[2-[hexyl(2-phenylethyl)amino]-2-oxoethoxy]-, (α S)-, compd. with 2-amino-2-(hydroxymethyl)-1,3-propanediol (1:1) (9CI)

MF C27 H37 N O5 . C4 H11 N O3

CM 1

Absolute stereochemistry.

Me
$$(CH_2)_5$$
 O OEt

CM 2

$$\begin{array}{c} & \text{NH}_2 \\ | & \\ | & \\ \text{C--} \text{CH}_2\text{--} \text{OH} \\ | & \\ \text{CH}_2\text{--} \text{OH} \end{array}$$

L2 15 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN

IN Benzenepropanoic acid, α -ethoxy-4-[2-[hexyl(2-phenylethyl)amino]-2-oxoethoxy]-, calcium salt, (α S)- (9CI)

MF C27 H37 N O5 . 1/2 Ca

Absolute stereochemistry.

●1/2 Ca

L2 15 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN

IN Ethanaminium, 2-hydroxy-N,N,N-trimethyl-, salt with $(\alpha S) - \alpha - ethoxy-4-[2-[hexyl(2-phenylethyl)amino]-2-oxoethoxy]benzenepropanoic acid (1:1) (9CI)$

MF C27 H36 N O5 . C5 H14 N O

CM 1

 $Me_3+N-CH_2-CH_2-OH$

L2 15 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN

IN Benzenepropanoic acid, α -ethoxy-4-[2-[hexyl(2-phenylethyl)amino]-2-oxoethoxy]-, ion(1-), (α S)- (9CI)

MF C27 H36 N O5

CI COM

Absolute stereochemistry.

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=> file caplus
COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 172.55 172.97

FULL ESTIMATED COST

FILE 'CAPLUS' ENTERED AT 17:44:44 ON 08 FEB 2007 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2007 AMERICAN CHEMICAL SOCIETY (ACS)

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=> s 12

L3 7 L2

=> d l3 ibib abs hitstr

L3 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 2007:61504 CAPLUS

TITLE:

Preparation of phenylpropionic acid derivatives and

pharmaceutical compositions thereof

INVENTOR(S):

PATENT ASSIGNEE(S):

SOURCE:

Astrazeneca AB, Swed.

PCT Int. Appl., 57pp.

CODEN: PIXXD2

Bjoerk, Seth

DOCUMENT TYPE:

Patent

LANGUAGE:

GΙ

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

| PAT | PATENT NO. | | | | KIND DATE | | | APPLICATION NO. | | | | | | DATE | | | | |
|----------|------------|------|------|-----|-------------|-----|-----|-----------------|-----|------|------|------|-----|----------|-----|------|-----|--|
| | | | | | | - | | | | | | | | | | | | |
| WO | 2007 | 0081 | 56 | | A1 20070118 | | | WO 2006-SE864 | | | | | | 20060710 | | | | |
| | W: | ΑE, | AG, | AL, | AM, | AT, | AU, | AZ, | BA, | BB, | BG, | BR, | BW, | BY, | BZ, | CA, | CH, | |
| | | CN, | CO, | CR, | CU, | CZ, | DE, | DK, | DM, | DZ, | EC, | EE, | EG, | ES, | FI, | GB, | GD, | |
| | | GE, | GH, | GM, | HN, | HR, | HU, | ID, | IL, | IN, | IS, | JP, | KE, | KG, | KM, | KN, | KP, | |
| | | KR, | KZ, | LA, | LC, | LK, | LR, | LS, | LT, | LU, | LV, | LY, | MA, | MD, | MG, | MK, | MN, | |
| | | MW, | MX, | MZ, | NA, | NG, | NI, | NO, | NZ, | OM, | PG, | PH, | PL, | PT, | RO, | RS, | RU, | |
| | | SC, | SD, | SE, | SG, | SK, | SL, | SM, | SY, | TJ, | TM, | TN, | TR, | TT, | TZ, | UA, | UG, | |
| | | US, | UZ, | VC, | VN, | ZA, | ZM, | ZW | | | | | • | | | | · | |
| • | RW: | AT, | BE, | BG, | CH, | CY, | CZ, | DE, | DK, | EE, | ES, | FI, | FR, | GB, | GR, | HU, | ΙE, | |
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| | | CF, | CG, | CI, | CM, | GA, | GN, | GQ, | GW, | ML, | MR, | NE, | SN, | TD, | TG, | BW, | GH, | |
| | | GM, | KE, | LS, | MW, | MZ, | NA, | SD, | SL, | SZ, | TZ, | UG, | ZM, | ZW, | AM, | AZ, | BY, | |
| | | KG, | KZ, | MD, | RU, | TJ, | TM | - | - | _ | | | - | | • | • | · | |
| PRIORITY | APP | LN. | INFO | . : | • | · | | | : | SE 2 | 005- | 1644 | | i | A 2 | 0050 | 711 | |

AB The title phenylpropionic acid derivs. I [wherein n = 1-2; R1 = H, C1, CF3, or OCF3; R2 = H or F; R3 = alkyl] or tert-butylamine salts thereof were prepared as PPAR active compds. for treatment of metabolic syndrome including type 2 diabetes mellitus (no data). For example, II and II-tert-butylamine were prepared in a multi-step synthesis. Pharmaceutical compns. were described.

IT549532-36-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of phenylpropionic acid derivs. and pharmaceutical compns. thereof)

RN 549532-36-7 CAPLUS

CN Benzenepropanoic acid, α-ethoxy-4-[2-[hexyl(2-phenylethyl)amino]-2oxoethoxy]-, ethyl ester, (αS) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 549532-35-6P 810676-90-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of phenylpropionic acid derivs. and pharmaceutical compns. thereof)

RN 549532-35-6 CAPLUS

CN Benzenepropanoic acid, α -ethoxy-4-[2-[hexyl(2-phenylethyl)amino]-2-oxoethoxy]-, (α S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 810676-90-5 CAPLUS

CN Benzenepropanoic acid, α -ethoxy-4-[2-[hexyl(2-phenylethyl)amino]-2-oxoethoxy]-, (α S)-, compd. with 2-methyl-2-propanamine (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 549532-35-6 CMF C27 H37 N O5

Absolute stereochemistry.

CM 2

CRN 75-64-9 CMF C4 H11 N

REFERENCE COUNT:

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d 13 ibib abs hitstr 1-YOU HAVE REQUESTED DATA FROM 7 ANSWERS - CONTINUE? Y/(N):y

L3 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN

5

ACCESSION NUMBER:

2007:61504 CAPLUS

TITLE:

Preparation of phenylpropionic acid derivatives and

pharmaceutical compositions thereof

INVENTOR(S):

Bjoerk, Seth

PATENT ASSIGNEE(S):

Astrazeneca AB, Swed.

SOURCE:

PCT Int. Appl., 57pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

| | PATENT NO. | | | | | KIND DATE | | | | APPLICATION NO. | | | | | | | DATE | | |
|-----------|------------|------|------|------|-----|-----------|-----|------|----------|-----------------|------|------|------|-----|-----|------|------|-----|--|
| | | | | | | | - | | | | | | | | | _ | | | |
| | WO | 2007 | 0081 | 56 | | A1 | | 2007 | 20070118 | | WO 2 | 006- | SE86 | 4 | | 2 | 0060 | 710 | |
| | | W: | ΑE, | AG, | AL, | AM, | ΑT, | AU, | ΑZ, | BA, | BB, | BG, | BR, | BW, | BY, | BZ, | CA, | CH, | |
| | | | CN, | CO, | CR, | CU, | CZ, | DE, | DK, | DM, | DZ, | EC, | ΕĒ, | EG, | ES, | FI, | GB, | GD, | |
| | | | | | | | | HU, | | | | | | | | | | | |
| | | | | | | | | LR, | | | | | | | | | | | |
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| | | | SC, | SD, | SE, | SG, | SK, | SL, | SM, | SY, | TJ, | TM, | TN, | TR, | TT, | TZ, | UA, | UG, | |
| | | | US, | UZ, | VC, | VN, | ZA, | ZM, | ZW | | | | | | | | | | |
| | | RW: | ΑT, | BE, | BG, | CH, | CY, | CZ, | DE, | DK, | EE, | ES, | FI, | FR, | GB, | GR, | HU, | ΙE, | |
| | • | | IS, | IT, | LT, | LU, | LV, | MC, | NL, | PL, | PT, | RO, | SE, | SI, | SK, | TR, | BF, | ВJ, | |
| | | | CF, | CG, | CI, | CM, | GΑ, | GN, | GQ, | GW, | ML, | MR, | ΝE, | SN, | TD, | TG, | BW, | GH, | |
| | | | GM, | KΕ, | LS, | MW, | MZ, | NA, | SD, | SL, | SZ, | ΤZ, | UG, | ZM, | ZW, | AM, | ΑZ, | BY, | |
| | | | KG, | ΚZ, | MD, | RU, | ΤJ, | TM | | | | | | | | | | | |
| PRI GI | ORITY | APP: | LN. | INFO | . : | | | | | : | SE 2 | 005- | 1644 | | | A 20 | 0050 | 711 | |

AB The title phenylpropionic acid derivs. I [wherein n = 1-2; R1 = H, Cl,

CF3, or OCF3; R2 = H or F; R3 = alkyl] or tert-butylamine salts thereof were prepared as PPAR active compds. for treatment of metabolic syndrome including type 2 diabetes mellitus (no data). For example, II and II-tert-butylamine were prepared in a multi-step synthesis. Pharmaceutical compns. were described.

IT 549532-36-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of phenylpropionic acid derivs. and pharmaceutical compns. thereof)

RN 549532-36-7 CAPLUS

CN Benzenepropanoic acid, α -ethoxy-4-[2-[hexyl(2-phenylethyl)amino]-2-oxoethoxy]-, ethyl ester, (α S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 549532-35-6P 810676-90-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of phenylpropionic acid derivs. and pharmaceutical compns. thereof)

RN 549532-35-6 CAPLUS

CN Benzenepropanoic acid, α -ethoxy-4-[2-[hexyl(2-phenylethyl)amino]-2-oxoethoxy]-, (α S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 810676-90-5 CAPLUS

CN Benzenepropanoic acid, α -ethoxy-4-[2-[hexyl(2-phenylethyl)amino]-2-oxoethoxy]-, (α S)-, compd. with 2-methyl-2-propanamine (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 549532-35-6 CMF C27 H37 N O5

CM 2

CRN 75-64-9 CMF C4 H11 N

REFERENCE COUNT:

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 2 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN

145:83115

ACCESSION NUMBER:

2006:605020 CAPLUS

DOCUMENT NUMBER:

TITLE:

Preparation of tris(hydroxymethyl)methylamine and ethanolamine salts of $(2S)-2-ethoxy-3-(4-\{2-[hexyl(2-$

phenylethyl)amino]-2-oxoethoxy}phenyl)propanoic acid

for treating lipid disorders

INVENTOR(S):

Booth, Rebecca J.; Dahlstroem, Mikael

PATENT ASSIGNEE(S):

SOURCE:

AstraZeneca AB, Swed. PCT Int. Appl., 39 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

LANGUAGE:

GI

Patent

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

| PAT | PATENT NO. | | | | | KIND DATE | | | APPLICATION NO. | | | | | | DATE | | |
|----------|-----------------------|------|-----|-----|-------------|-----------|-----|-----|-----------------|------|------|------|-----|----------|------|------|-----|
| | | | | | | - 8 | | | | | | | | | | | |
| WO | 2006 | 0652 | 14 | | A1 20060622 | | | 1 | WO 2 | 005- | SE19 | 16 | | 20051214 | | | |
| | W: | ΑE, | AG, | AL, | AM, | AT, | AU, | ΑZ, | BA, | BB, | BG, | BR, | BW, | BY, | ΒZ, | CA, | CH, |
| | | CN, | CO, | CR, | CU, | CZ, | DE, | DK, | `DM, | DZ, | EC, | EE, | EG, | ES, | FI, | GB, | GD, |
| | | GE, | GH, | GM, | HR, | HU, | ID, | IL, | IN, | IS, | JP, | KΕ, | KG, | KM, | KN, | KP, | KR, |
| | | KZ, | LC, | LK, | LR, | LS., | LT, | LU, | LV, | LY, | ΜA, | MD, | MG, | MK, | MN, | MW, | MX, |
| | | MZ, | NA, | NG, | NI, | NO, | NZ, | OM, | PG, | PH, | PL, | PT, | RO, | RU, | SC, | SD, | SE, |
| | | SG, | SK, | SL, | SM, | SY, | TJ, | TM, | TN, | TR, | TT, | TZ, | UΑ, | ŪĠ, | US, | UΖ, | VC, |
| | | VN, | YU, | ZA, | ZM, | zw | | | | | | | | | | | |
| | RW: | AT, | BE, | BG, | CH, | CY, | CZ, | DE, | DK, | EE, | ES, | FI, | FR, | GB, | GR, | HU, | ΙE, |
| | | IS, | IT, | LT, | LU, | LV, | MC, | NL, | PL, | PT, | RO, | SE, | SI, | SK, | TR, | BF, | ВJ, |
| | | CF, | CG, | CI, | CM, | GΑ, | GN, | GQ, | GW, | ML, | MR, | NE, | SN, | TD, | TG, | BW, | GH, |
| | | GM, | KE, | LS, | MW, | MZ, | NA, | SD, | SL, | SZ, | TZ, | UG, | ZM, | ZW, | AM, | AZ, | BY, |
| | | KG, | ΚZ, | MD, | RU, | TJ, | TM | | | | | | | | | | |
| PRIORITY | RIORITY APPLN. INFO.: | | | | | | | | : | SE 2 | 004- | 3072 | | 7 | A 2 | 0041 | 216 |

AB The invention relates to a compound selected from one or more of the following: a tris(hydroxymethyl)methylamine salt or an ethanolamine salt of title compound I or a pharmaceutical composition comprising the compound Thus I

was prepared in 4 steps from Et (S)-2-ethoxy-3-(4-hydroxyphenyl)propanoate, benzyl bromoacetate, and N-hexyl-2-phenylethylamine. X-ray powder diffration patterns for bot salts of I are given. Both salts have an EC50 of less than 0.5 μ mol/l for PPAR α .

Ι

IT 892402-12-9P 892402-13-0P

RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of crystalline tris(hydroxymethyl)methylamine and ethanolamine salts

of (2S)-2-ethoxy-3-[4-[2-[hexyl(2-phenylethyl)amino]-2-oxoethoxy]phenyl]propanoic acid for treating lipid disorders)

RN 892402-12-9 CAPLUS

CN Benzenepropanoic acid, α -ethoxy-4-[2-[hexyl(2-phenylethyl)amino]-2-oxoethoxy]-, (α S)-, compd. with 2-amino-2-(hydroxymethyl)-1,3-propanediol (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 549532-35-6 CMF C27 H37 N O5

Absolute stereochemistry.

CM 2

CRN 77-86-1 CMF C4 H11 N O3

$$^{
m NH_2}_{
m HO-CH_2-C-CH_2-OH}_{
m CH_2-OH}$$

RN 892402-13-0 CAPLUS

CN Benzenepropanoic acid, α -ethoxy-4-[2-[hexyl(2-phenylethyl)amino]-2-oxoethoxy]-, (α S)-, compd. with aminomethanol (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 549532-35-6 CMF C27 H37 N O5

Absolute stereochemistry.

CM 2

CRN 3088-27-5 CMF C H5 N O

 H_2N-CH_2-OH

IT 549532-35-6P 549532-36-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of crystalline tris(hydroxymethyl)methylamine and ethanolamine

salts

of (2S)-2-ethoxy-3-[4-[2-[hexyl(2-phenylethyl)amino]-2oxoethoxy]phenyl]propanoic acid for treating lipid disorders)

RN549532-35-6 CAPLUS

CNBenzenepropanoic acid, α-ethoxy-4-[2-[hexyl(2-phenylethyl)amino]-2oxoethoxy]-, (αS) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

549532-36-7 CAPLUS RN

CN Benzenepropanoic acid, α -ethoxy-4-[2-[hexyl(2-phenylethyl)amino]-2oxoethoxy]-, ethyl ester, (aS)- (9CI) (CA INDEX NAME)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

2005:1335635 CAPLUS

DOCUMENT NUMBER:

144:69628

TITLE:

Preparation of phenoxyacetamide derivatives as modulators of peroxisome proliferator-activated

receptors (PPAR)

INVENTOR(S):

Alstermark, Eva-Lotte Lindstedt; Olsson, Anna

Christina; Li, Lanna

PATENT ASSIGNEE(S):

Swed.

SOURCE:

U.S. Pat. Appl. Publ., 47 pp., Cont.-in-part of U.S.

Ser. No. 499,261. CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

T: 5

PATENT INFORMATION:

| PAT | TENT | | | | KIN | | DATE | | | APPL | ICAT | | | DATE | | | | |
|-----|------|------|-----|-----|-----|-----|------|------|-----|------|------|------|-----|------|-----|------|-----|----|
| US | 2005 | | | | A1 | | 2005 | 1222 | | US 2 | 004- | 2680 | 6 | | | 0041 | | |
| WO | 2003 | 0518 | 21 | | A1 | | 2003 | 0626 | | WO 2 | 002- | GB57 | 38 | | 2 | 0021 | 218 | |
| | W: | ΑE, | AG, | AL, | AM, | ΑT, | AU, | ΑZ, | BA, | BB, | BG, | BR, | BY, | ΒZ, | CA, | CH, | CN, | |
| | | CO, | CR, | CU, | CZ, | DE, | DK, | DM, | DZ, | EC, | EE, | ES, | FI, | GB, | GD, | GE, | GH, | |
| | | GM, | HR, | HU, | ID, | IL, | IN, | IS, | JP, | KE, | KG, | ΚP, | KR, | KZ, | LC, | LK, | LR, | |
| | | LS, | LT, | LU, | LV, | MA, | MD, | MG, | MK, | MN, | MW, | MX, | MZ, | NO, | NZ, | OM, | PH, | |
| | | PL, | PT, | RO, | RU, | SC, | SD, | SE, | SG, | SK, | SL, | ТJ, | TM, | TN, | TR, | TT, | TZ, | |
| | | | | | | | | | | ZM, | ZW | | | | - | - | - | |
| | RW: | GH, | GM, | ΚE, | LS, | MW, | MZ, | SD, | SL, | SZ, | TZ, | ŪĠ, | ZM, | ZW, | AM, | AZ, | BY, | |
| | | | | | | | | | | BG, | | | | | | | | |
| | | | | | | | | | | NL, | | | | | | | | |
| | | | | | | | | | | ML, | | | | | | · | • | |
| WO | 2003 | | | | A1 | | 2003 | | | WO 2 | | | | • | | 0021 | 218 | |
| | W: | ΑE, | AG, | AL, | AM, | AT, | AU, | AZ, | | BB, | | | | BZ, | CA, | CH, | CN, | |
| | | | | | | | | | | EC, | | | | | | | | |
| | | | | | | | | | | KE, | | | | | | | | |
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| | RW: | | | | | | | | | sz, | | UG, | ZM, | ZW, | AM, | AZ. | BY. | |
| | | | | | | | | | | BG, | | | | | | | | |
| | | | | GB, | | | | | | NL, | | | | | | | | |
| | | | • | | - | | | | | ML, | | | | | | • | • | |
| CN | 1896 | | | | Α | | 2007 | | · | CN 2 | | | | • | | 0021 | 218 | |
| WO | 2004 | 0567 | 48 | | A1 | | 2004 | 0708 | | WO 2 | | | | | 2 | 0031 | 219 | |
| | W: | ΑE, | AG, | AL, | AM, | AT, | AU, | AZ, | BA, | BB, | BG, | BR, | BW, | BY, | BZ, | CA, | CH, | |
| | | | | | | | | | | DZ, | | | | | | | | |
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| | | | | TR, | | | | | | UΖ, | | | | | | | - | |
| | RW: | | | | | | | | | SL, | | | | | | | AZ, | |
| | | | | | | | | | | BE, | | | | | | | | |
| | | | | | | | | | | LU, | | | | | | | | |
| | | | | | | | | | | GN, | | | | | | | | TG |
| WO | 2004 | | | • | A2 | | 2004 | | | WO 2 | | | | • | | 0040 | | |
| | 2004 | | | | A3 | | 2005 | | | | | | , i | | _ | | | |
| | W: | ΑE, | AG, | AL, | | | | | BA. | BB, | BG. | BR, | BW, | BY, | BZ, | CA, | CH, | |
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| | | LK, | LR, | LS, | LT, | LU. | LV, | MA, | MD. | MG, | MK. | MN. | MW. | MX. | MZ. | NA. | NI. | |
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        RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
             AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
             EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
             SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
             SN, TD, TG
     EP 1676833
                          A1
                                20060705
                                            EP 2006-5766
                                                                    20040617
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             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR
     JP 2005336209
                         · A
                                20051208
                                            JP 2005-235794
                                                                    20050816
     JP 2006045240
                          Α
                                20060216
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     JP 2006298924
                          Α
                                20061102
                                             JP 2006-123399
                                                                    20060427
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                                20061102
                                            JP 2006-139673
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PRIORITY APPLN. INFO.:
                                             SE 2001-4334
                                                                 Α
                                                                    20011219
                                            WO 2002-GB5738
                                                                    20021218
                                             WO 2002-GB5744
                                                                 Α
                                                                    20021218
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                                                                 Α
                                                                    20021221
                                             GB 2003-14079
                                                                 Α
                                                                    20030618
                                                                    20031219
                                             WO 2003-GB305602
                                                                 Α
                                            WO 2004-EP6597
                                                                 Α
                                                                    20040617
                                            US 2005-499261
                                                                 A2 20050304
                                                                 A3 20021218
                                             CN 2002-828123
                                                                 A3 20021218
                                            JP 2003-552709
                                                                 A3 20021218
                                            JP 2003-552710
                                                                 A3 20031219
                                             JP 2004-561668
                                             EP 2004-740044
                                                                 A3 20040617
                                             JP 2006-515989
                                                                 A3 20040617
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OTHER SOURCE(S):

MARPAT 144:69628

$$R^5$$
 R^6
 X
 Y
 A

The phenyl-, phenoxy-, or phenylthioalkanamidetitle compds., (in AB particular phenoxyacetamide derivs.) (I) [A is situated in the ortho, meta or para position and represents CR3R4CR1R2COR, CR3:CR1COR (wherein R = H, alkyl, (un) substituted HO or NH2; R1 = alkyl, aryl, alkenyl, alkynyl, or when A is CR3R4CR1R2COR, R1 can also be cyano, (un) substituted HO, SH, OCONH2, SO2NH2, CO2H, etc.; R2 = H, halogen, alkyl, aryl, alkylaryl; R3, R4 = H, alkyl, aryl, alkylaryl); Y = O, S, a single bond; n = an integer of 1-4; X = alkyl; R5, R6 = H, each (un)substituted C1-13 alkyl, C2-10 alkenyl, or C2-10 alkynyl; or R5, R6 = each (un)substituted C3-8 cycloalkyl, C3-C8 cycloalkenyl, aryl, heterocyclyl, or heteroaryl; or R5 and R6 together with the nitrogen atom to which they are attached form a single or a fused heterocyclic system] are prepared These compds. are useful in treating clin. conditions including lipid disorders (dyslipidemias) whether or not associated with insulin resistance, and other manifestations of the metabolic syndrome. Thus, a solution of 0.598 g N-butyl-N-[2-fluoro-4-(trifluoromethyl)benzyl]amine and 0.593 g [4-((2S)-2,3-diethoxy-3-oxopropyl)phenoxy]acetic acid in 20 mL CH2Cl2 was treated with 0.80 mL N, N-diisopropylethylamine and 0.674 g O-(benzotriazol-1-yl)-N,N,N',N'-tetramethyluronium tetrafluoroborate and the reaction mixture was stirred at room temperature overnight to give, after workup and silica gel chromatog., 74% Et (2S)-3-[4-[2-[butyl[2-fluoro-4-(trifluoromethyl)benzyl]amino]-2-oxoethoxy]phenyl]-2-ethoxypropanoate (II). A solution of 0.748 g II in 70 mL MeCN was treated with 35 mL 0.10 M LiOH and the reaction mixture was stirred at room temperature overnight,

neutralized with 5% HCl, concentrated, acidified with 5% HCl, and extracted with

EtOAc to give 97% (2S) -3-[4-[2-[butyl[2-fluoro-4-

(trifluoromethyl)benzyl]amino]-2-oxoethoxy]phenyl]-2-ethoxypropanoic acid (III). III showed EC50 of 0.001 μ mol/L for human PPAr α .

IT 549532-36-7P, Ethyl (2S)-2-ethoxy-3-[4-[2-[hexyl(2-

phenylethyl)amino]-2-oxoethoxy]phenyl]propanoate

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of phenoxyacetamide derivs. as modulators of peroxisome proliferator-activated receptors for treating metabolic disorder)

RN 549532-36-7 CAPLUS

CN Benzenepropanoic acid, α-ethoxy-4-[2-[hexyl(2-phenylethyl)amino]-2oxoethoxy]-, ethyl ester, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 549532-35-6P, (2S)-2-Ethoxy-3-[4-[2-[hexyl(2-phenylethyl)amino]-2-oxoethoxy]phenyl]propanoic acid

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of phenoxyacetamide derivs. as modulators of peroxisome proliferator-activated receptors for treating metabolic disorder)

RN 549532-35-6 CAPLUS

CN Benzenepropanoic acid, α -ethoxy-4-[2-[hexy1(2-phenylethy1)amino]-2-oxoethoxy]-, (α S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L3 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

2004:1127321 CAPLUS

DOCUMENT NUMBER:

142:49239

TITLE:

Pharmaceutically useful salts (2S)-2-ethoxy-3-(4-

{2 [hexyl (2-phenylethyl) amino] -2-

oxoethoxy}phenyl)propanoic acid, preparation thereof,

and therapeutic use

INVENTOR(S):

Ragnar, Ralf; Stahle, Erica

PATENT ASSIGNEE(S):

Astrazeneca AB, Swed.

SOURCE:

PCT Int. Appl., 38 pp.

DOCUMENT TYPE:

Patent

CODEN: PIXXD2

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

| | PATENT NO. | | APPLICATION NO. | DATE |
|------|---|--|---|---|
| | WO 2004110985 W: AE, AG, AL, CN, CO, CR, GE, GH, GM, LK, LR, LS, NO, NZ, OM, TJ, TM, TN, RW: BW, GH, GM, AZ, BY, KG, | A1 2004122 AM, AT, AU, AZ CU, CZ, DE, DK HR, HU, ID, IL LT, LU, LV, MA PG, PH, PL, PT TR, TT, TZ, UA KE, LS, MW, MZ KZ, MD, RU, TJ | 3 WO 2004-SE965 , BA, BB, BG, BR, BW, , DM, DZ, EC, EE, EG, , IN, IS, JP, KE, KG, , MD, MG, MK, MN, MW, , RO, RU, SC, SD, SE, , UG, US, UZ, VC, VN, , NA, SD, SL, SZ, TZ, , TM, AT, BE, BG, CH, , IE, IT, LU, MC, NL, | 20040616 BY, BZ, CA, CH, ES, FI, GB, GD, KP, KR, KZ, LC, MX, MZ, NA, NI, SG, SK, SL, SY, YU, ZA, ZM, ZW UG, ZM, ZW, AM, CY, CZ, DE, DK, |
| | SI, SK, TR, | | , CI, CM, GA, GN, GQ, | |
| | SN, TD, TG | | | |
| | AU 2004247611 | A1 2004122 | 3 AU 2004-247611 | 20040616 |
| | CA 2527608 EP 1638921 | A1 2004122 | 3 CA 2004-2527608 9 EP 2004-736956 | 20040616 |
| | | AI 2006032 | , GB, GR, IT, LI, LU, | 20040616 |
| | | | , GB, GR, II, EI, EU, , , CY, AL, TR, BG, CZ, | |
| | BR 2004011455 | | 8 BR 2004-11455 | 20040616 |
| | | | 9 CN 2004-80016838 | |
| | JP 3836498 | B2 2006102 | | |
| | JP 2006527767 US 2006194879 | T 2006120 | | |
| | US 2006194879 | A1 2006083 | 1 US 2005-560127 | 20051209 |
| | NO 2005005923 | A 2006010 | 6 NO 2005-5923 | 20051213 |
| PRIO | RITY APPLN. INFO.: | | GB 2003-14136 | A 20030618 |
| | | | WO 2004-SE965 | W 20040616 |
| AB | | | or magnesium salt of | |
| | (2S) -2-ethoxy-3-(4- | | | |
| | | | Compds. of the inventi | |
| IT | 549532-35-6DP, comp | | . dyslipidemia and typ | pe 2 diabetes. |
| 11 | | | ; PRP (Properties); SI | ON (Synthetic |
| | | |); BIOL (Biological st | |
| | (Preparation); USES | | ,, (| 2 |
| | | | phenylethyl)amino]-2- | |
| | oxoethoxy}phenyl |)propanoic acid | salts, preparation, a | and therapeutic use) |
| RN | 549532-35-6 CAPLUS | | | - |
| CN | Benzenepropanoic ac | id, α -ethoxy-4- | [2-[hexyl(2-phenylethy | /l)amino]-2- |

Absolute stereochemistry.

oxoethoxy]-, (\alpha S)- (9CI) (CA INDEX NAME)

IT 810672-00-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

((2S)-2-ethoxy-3-(4-{2[hexyl(2-phenylethyl)amino]-2-

oxoethoxy}phenyl)propanoic acid salts, preparation, and therapeutic use)

RN 810672-00-5 CAPLUS

CN Benzenepropanoic acid, α-ethoxy-4-[2-[hexyl(2-phenylethyl)amino]-2-

oxoethoxy]-, calcium salt, (\alpha S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

●1/2 Ca

IT 549532-35-6P 549532-36-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

 $((2S) - 2 - ethoxy - 3 - (4 - \{2 [hexyl (2 - phenylethyl) amino] - 2 - ethoxy - 3 - (4 - \{2 [hexyl (2 - phenylethyl] amino] - 2 - ethoxy - 3 - (4 - \{2 [hexyl (2 - phenylethyl] amino] - 2 - (4 - \{2 [hexyl (2 - phenylethyl] amino] - 2 - (4 - \{2 [hexyl (2 - phenylethyl] amino]$

oxoethoxy}phenyl)propanoic acid salts, preparation, and therapeutic use)

RN 549532-35-6 CAPLUS

CN Benzenepropanoic acid, α -ethoxy-4-[2-[hexyl(2-phenylethyl)amino]-2-oxoethoxy]-, (α S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 549532-36-7 CAPLUS

CN Benzenepropanoic acid, α -ethoxy-4-[2-[hexyl(2-phenylethyl)amino]-2-oxoethoxy]-, ethyl ester, (α S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT:

3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

2004:1127320 CAPLUS

DOCUMENT NUMBER:

142:49238

TITLE:

Pharmaceutically useful salts of (2S)-2-ethoxy-3-[4-(2-

(hexyl(2-phenylethyl)amino)-2-

oxoethoxy) phenyl] propanoic acid, their preparation,

and their therapeutic use

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Aurell, Carl-Johan; Dahlstroem, Mikael;
INVENTOR(S):
                         Lindstedt-Alstermark, Eva-Lotte; Minidis, Anna;
                         Ohlsson, Bengt; Stahle, Erica
PATENT ASSIGNEE(S):
                         Astrazeneca AB, Swed.
SOURCE:
                         PCT Int. Appl., 47 pp.
                         CODEN: PIXXD2
DOCUMENT TYPE:
                         Patent
LANGUAGE: ·
                         English
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
     PATENT NO.
                                           APPLICATION NO.
                         KIND
                                DATE
                                                                   DATE
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     WO 2004110984
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                                 20041223
                                           WO 2004-SE964
                                                                    20040616
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             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
             LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
             NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
             TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
             AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
             EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
             SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
             SN, TD, TG
     AU 2004247610
                          A1
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     CN 1809529
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     BR 2004011525
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                                                                     20040616
     JP 3822900
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                                             JP 2006-517039
                                                                    20040616
     JP 2006527766
                          \mathbf{T}
                                 20061207
     NO 2005005922
                          Α
                                 20060106
                                             NO 2005-5922
                                                                    20051213
     US 2006142389
                                20060629
                                             US 2005-560657
                          A1
                                                                    20051213
PRIORITY APPLN. INFO.:
                                             GB 2003-14129
                                                                 A 20030618
                                             WO 2004-SE964
                                                                 W
                                                                    20040616
     The invention discloses salts of (2S)-2-ethoxy-3-[4-(2-(hexyl(2-
AB
     phenylethyl)amino)-2-oxoethoxy)phenyl]propanoic acid e.g. the L-arginine
     salt. Preparation of compds. of the invention is described. The compds. of
     the invention are useful in the treatment of e.g. dyslipidemias and other
     manifestations of the metabolic syndrome.
TT
     810676-88-1P 810676-89-2P 810676-90-5P
     810676-93-8P
     RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic
     preparation); THU (Therapeutic use); BIOL (Biological study); PREP
     (Preparation); USES (Uses)
        (Pharmaceutically useful salts of (2S)-2-ethoxy-3-[4-(2-(hexy))]
        phenylethyl)amino)-2-oxoethoxy)phenyl]propanoic acid, their preparation, and
       their therapeutic use)
RN
     810676-88-1 CAPLUS
CN
     Benzenepropanoic acid, α-ethoxy-4-[2-[hexyl(2-phenylethyl)amino]-2-
     oxoethoxy]-, (aS)-, compd. with (1R,2S)-1-amino-2,3-dihydro-1H-inden-
     2-ol (1:1) (9CI) (CA INDEX NAME)
     CM
     CRN
          549532-35-6
     CMF C27 H37 N O5
```

CM 2

CRN 136030-00-7 CMF C9 H11 N O

Absolute stereochemistry. Rotation (+).

RN 810676-89-2 CAPLUS

CN L-Arginine, mono[(α S)- α -ethoxy-4-[2-[hexyl(2-phenylethyl)amino]-2-oxoethoxy]benzenepropanoate] (9CI) (CA INDEX NAME)

CM 1

CRN 549532-35-6 CMF C27 H37 N O5

Absolute stereochemistry.

CM 2

CRN 74-79-3 CMF C6 H14 N4 O2

Absolute stereochemistry.

RN 810676-90-5 CAPLUS

CN Benzenepropanoic acid, α-ethoxy-4-[2-[hexyl(2-phenylethyl)amino]-2-oxoethoxy]-, (αS)-, compd. with 2-methyl-2-propanamine (1:1) (9CI)

(CA INDEX NAME)

CM 1

CRN 549532-35-6 CMF C27 H37 N O5

Absolute stereochemistry.

CM 2

CRN 75-64-9 CMF C4 H11 N

RN 810676-93-8 CAPLUS

CN Benzenepropanoic acid, α -ethoxy-4-[2-[hexyl(2-phenylethyl)amino]-2-oxoethoxy]-, (α S)-, compd. with N-(phenylmethyl)benzeneethanamine (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 549532-35-6 CMF C27 H37 N O5

Absolute stereochemistry.

CM 2

CRN 3647-71-0 CMF C15 H17 N

 $Ph-CH_2-CH_2-NH-CH_2-Ph$

IT 810676-91-6 810676-92-7 810676-94-9

810676-96-1

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL

(Biological study); USES (Uses)

(Pharmaceutically useful salts of (2S)-2-ethoxy-3-[4-(2-(hexy1(2phenylethyl)amino)-2-oxoethoxy)phenyl]propanoic acid, their preparation, and their therapeutic use)

RN · 810676-91-6 CAPLUS

CNEthanaminium, 2-hydroxy-N,N,N-trimethyl-, salt with $(\alpha S)-\alpha$ ethoxy-4-[2-[hexyl(2-phenylethyl)amino]-2-oxoethoxy]benzenepropanoic acid (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 810676-95-0 CMF C27 H36 N O5

Absolute stereochemistry.

CM 2

CRN 62-49-7 CMF C5 H14 N O

 $Me_3+N-CH_2-CH_2-OH$

RN 810676-92-7 CAPLUS

Benzenepropanoic acid, α -ethoxy-4-[2-[hexyl(2-phenylethyl)amino]-2-CN oxoethoxy]-, (aS)-, compd. with tricyclo[3.3.1.13,7]decan-1-amine (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 549532-35-6 CMF C27 H37 N O5

Absolute stereochemistry.

CM 2

CRN 768-94-5 CMF C10 H17 N

RN 810676-94-9 CAPLUS

CN Benzenepropanoic acid, α -ethoxy-4-[2-[hexyl(2-phenylethyl)amino]-2-oxoethoxy]-, (α S)-, compd. with N,N'-bis(phenylmethyl)-1,2-ethanediamine (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 549532-35-6 CMF C27 H37 N O5

Absolute stereochemistry.

CM 2

CRN 140-28-3 CMF C16 H20 N2

Ph-CH2-NH-CH2-CH2-NH-CH2-Ph

RN 810676-96-1 CAPLUS

CN Methanaminium, 1-hydroxy-N,N-bis(hydroxymethyl)-N-methyl-, salt with (αS)-α-ethoxy-4-[2-[hexyl(2-phenylethyl)amino]-2-oxoethoxy]benzenepropanoic acid (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 810676-95-0 CMF C27 H36 N O5

Absolute stereochemistry.

CM 2

CRN 14433-29-5

$$\begin{array}{c|c} & \text{Me} & \\ & | & \\ \text{HO-CH}_2 - \text{N} & \text{CH}_2 - \text{OH} \\ & | & \\ \text{CH}_2 - \text{OH} \end{array}$$

IT 549532-35-6P 549532-36-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(Pharmaceutically useful salts of (2S)-2-ethoxy-3-[4-(2-(hexyl(2-

phenylethyl)amino)-2-oxoethoxy)phenyl]propanoic acid, their preparation, and their therapeutic use)

RN 549532-35-6 CAPLUS

CN Benzenepropanoic acid, α -ethoxy-4-[2-[hexyl(2-phenylethyl)amino]-2-oxoethoxy]-, (α S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 549532-36-7 CAPLUS

CN Benzenepropanoic acid, α -ethoxy-4-[2-[hexyl(2-phenylethyl)amino]-2-oxoethoxy]-, ethyl ester, (α S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT:

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN

3

ACCESSION NUMBER:

2004:1127318 CAPLUS

DOCUMENT NUMBER:

142:56001

TITLE:

Preparation of (2S)-3-(4-{2-[amino]-2-

oxoethoxy}phenyl)-2-ethoxypropanoic acid derivatives

INVENTOR(S):

Aurell, Carl-Johan; Macedo, Emmanuel; Minidis, Anna;

Yousefi-Salakdeh, Esmail

PATENT ASSIGNEE(S):

Astrazeneca Ab, Swed.

PCT Int. Appl., 16 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

SOURCE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

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| PA | | | | | | KIND DATE | | | APPLICATION NO. | | | | | | | DATE | | |
|---------|-------|------|------|-----|-----|-----------|------|------|-----------------|----|-------|------|-----|-----|-----|-------|-----|--|
| WO | 2004 | 1109 | | | | | | | | | 2004- | | | | | 20040 | | |
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| | RW: | | | | | | | | | | , SL, | | | - | | | | |
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| OTHER S | OURCE | (S): | | | MAR | PAT | 142: | 5600 | 1 | | | | | | | | | |

AB The present invention provides a process for preparation of the title compds. I (R = H, R1 = n-C6H13) by reacting I (R = H, or protecting group, R1 = H) with C6H13X (X = leaving group) in the presence of a base and inert solvent at a temperature in the range -25°C to 150°C and optionally, when OR represents a protecting group, removal of the protecting group.

IT 549532-35-6P 810677-36-2P

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

(asym. preparation of (2S)-ethoxy[[[hexyl(phenethyl)amino]oxoethoxy]phenyl]propanoic acid)

RN 549532-35-6 CAPLUS

CN Benzenepropanoic acid, α -ethoxy-4-[2-[hexyl(2-phenylethyl)amino]-2-oxoethoxy]-, (α S)- (9CI) (CA INDEX NAME)

RN 810677-36-2 CAPLUS

CN Benzenepropanoic acid, α-ethoxy-4-[2-[hexyl(2-phenylethyl)amino]-2-oxoethoxy]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{OEt} \\ \text{CH}_2-\text{CH}-\text{CO}_2\text{H} \\ \\ \text{Me- (CH}_2)_5-\text{N-C-CH}_2-\text{O} \\ \\ \text{Ph-CH}_2-\text{CH}_2 \end{array}$$

REFERENCE COUNT:

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

2003:491168 CAPLUS

DOCUMENT NUMBER:

139:69049

TITLE:

Preparation of substituted phenylpropionic acid

derivatives as agonists to human peroxisome proliferator-activated receptor alpha (PPAR) Alstermark Lindstedt, Eva-Lotte; Olsson, Anna

INVENTOR(S):

Christina; Li, Lanna

PATENT ASSIGNEE(S):

Astrazeneca AB, Swed.; Astrazeneca UK Limited

SOURCE: PCT Int. Appl., 40 pp.

5

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

| | TENT NO. | | | | KIND DATE | | | APPLICATION NO. | | | | | | | | | | |
|----|----------|------|------|-----|------------|-----|----------|-----------------|-----|-------|-------|-------|-----|-----|-----|-------|-----|--|
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| | | co, | .CR, | CU, | CZ, | DE, | DK, | DM, | DΖ, | EC, | EE, | ES, | FI, | GB, | GD, | GE, | GH, | |
| | | GM, | HR, | HU, | ID, | ΙL, | IN, | IS, | JΡ, | KE, | KG, | ΚP, | KR, | KZ, | LC, | LK, | LR, | |
| | | LS, | LT, | LU, | LV, | MA, | MD, | MG, | MK, | MN, | MW, | MX, | MZ, | NO, | NZ, | OM, | PH, | |
| | | PL, | PT, | RO, | RU, | SC, | SD, | SE, | SG, | SK, | SL, | ТJ, | TM, | TN, | TR, | TT, | TZ, | |
| | | UA, | ŪĠ, | US, | UΖ, | VC, | VN, | YU, | ZA, | ZM, | ZW | | | | | | | |
| | RW: | GH, | GM, | KΕ, | LS, | MW, | ΜZ, | SD, | SL, | SZ, | TZ, | ΰĠ, | ZM, | ZW, | AM, | ΑZ, | BY, | |
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OTHER SOURCE(S):

MARPAT 139:69049

The S enantiomer of I, n = 1 or 2, (C6H13 = hexyl) as well as their pharmaceutically acceptable salts, solvates, crystalline forms and prodrugs are synthesized using various solvents and in presence of charcoal-supported palladium catalyst. The utility of these compds. in clin. conditions such as lipid disorders (dyslipidemias) whether or not associated with insulin resistance and therapeutic and other pharmaceutical activities is also investigated. For example, (2S)-3-(4{2-[benzyl(hexyl)amino]-2-oxoethoxy}phenyl)2-ethoxypropionic acid was prepared in 58% yield via reaction of (2S)-2-ethoxy-3-(4-hydroxyphenyl)propanoate and benzyl bromoacetate.

IT 549532-35-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of enantiomeric substituted phenylpropionic acid derivs. as agonists to human peroxisome proliferator-activated receptor)

RN 549532-35-6 CAPLUS

CN Benzenepropanoic acid, α-ethoxy-4-[2-[hexyl(2-phenylethyl)amino]-2oxoethoxy]-, (αS)- (9CI) (CA INDEX NAME)

IT 549532-36-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of enantiomeric substituted phenylpropionic acid derivs. as agonists to human peroxisome proliferator-activated receptor)

RN 549532-36-7 CAPLUS

CN Benzenepropanoic acid, α -ethoxy-4-[2-[hexyl(2-phenylethyl)amino]-2-oxoethoxy]-, ethyl ester, (α S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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REFERENCE COUNT:

THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT